

App's part

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:633282 CAPLUS Full-text

DN 141:174197

TI A preparation of novel substituted 2,3-benzodiazepine derivatives, useful as AMPA receptor antagonists

IN Solyom, Sandor; Abraham, Gizella; Hamori, Tamas; Berzsenyi, Pal; Andrasi, Ferenc; Kurucz, Istvan

PA Ivax Drug Research Institute, Ltd., Hung.

SO U.S. Pat. Appl. Publ., 29 pp.

CODEN: USXXCO

DT Patent

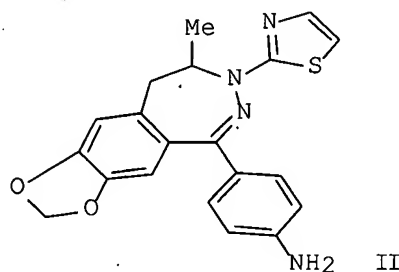
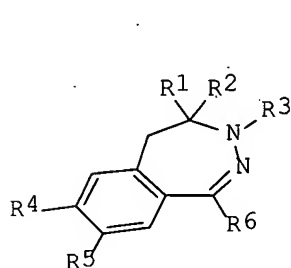
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004152693	A1	20040805	US 2003-358053	20030204
	US 6858605	B2	20050222		
	AU 2004208825	A1	20040819	AU 2004-208825	20040203
	CA 2512616	AA	20040819	CA 2004-2512616	20040203
	WO 2004069197	A2	20040819	WO 2004-US3041	20040203
	WO 2004069197	A3	20050818		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP	1592673	A2	20051109	EP 2004-707792	20040203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR	2004007247	A	20060131	BR 2004-7247	20040203
CN	1747938	A	20060315	CN 2004-80003526	20040203
JP	2006516647	T2	20060706	JP 2006-503283	20040203
NO	2005004067	A	20051025	NO 2005-4067	20050901
PRAI	US 2003-358053	A	20030204		
	WO 2004-US3041	W	20040203		

OS MARPAT 141:174197

GI



AB The invention relates to a preparation of new 2,3-benzodiazepine derivs. of formula I [wherein: R1 and R2 are independently selected from H or C1-3alkyl; R3 is (un)substituted 5- or 6-membered ring containing at least 2 heteroatoms; R6 is (un)substituted phenyl; R4 is H or halogen; R5 is C1-3alkoxy or halogen; R4 and R5 together can be C1-3alkylendioxy group] as AMPA receptor antagonists, useful for the treatment of conditions associated with muscle spasms, epilepsy, acute and chronic forms of neurodegenerative diseases as well as preventing, treating or alleviating the symptoms of acute and chronic inflammatory disorders. For instance, prepared compound II (IC50 = 1-5 μ M)

inhibit AMPA-induced "spreading depression" in isolated chicken retina (table 1, example 61). Muscle relaxant activity in mice of the compound II was determined (inclined screen ED50 was 10.7 mg/kg; table 4, example 61).

IT 732277-08-6P 732277-09-7P 732277-10-0P
732277-11-1P 732277-12-2P 732277-13-3P
732277-14-4P 732277-15-5P 732277-16-6P
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732278-51-2P 732278-52-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

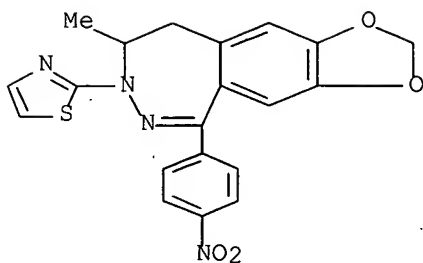
(preparation of novel substituted 2,3-benzodiazepine derivs., useful as

AMPA

receptor antagonists)

RN 732277-08-6 CAPLUS

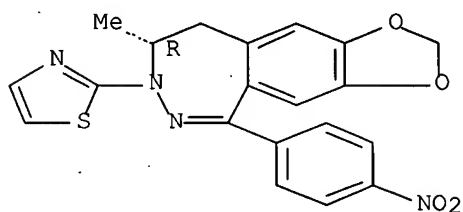
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 732277-09-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-thiazolyl)-, (8R)- (9CI) (CA INDEX NAME)

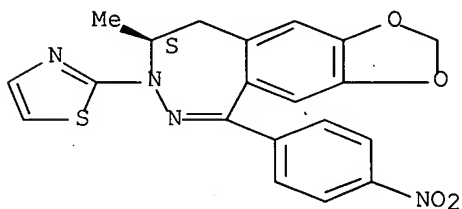
Absolute stereochemistry. Rotation (+).



RN 732277-10-0 CAPLUS

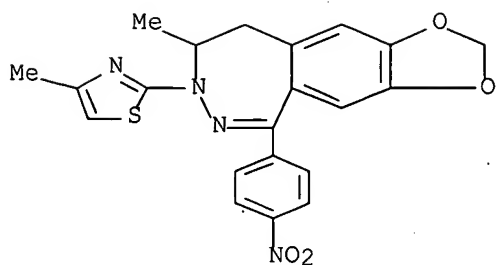
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-thiazolyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



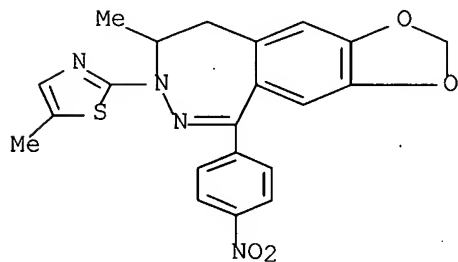
RN 732277-11-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



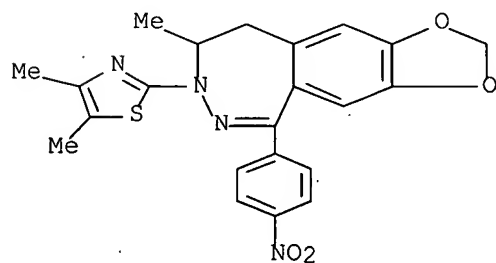
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



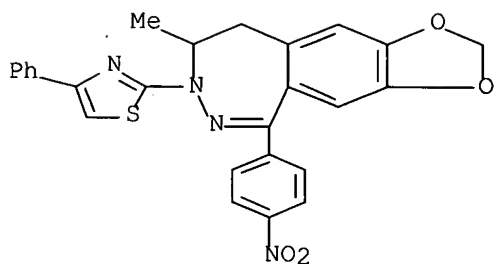
RN 732277-13-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dimethyl-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



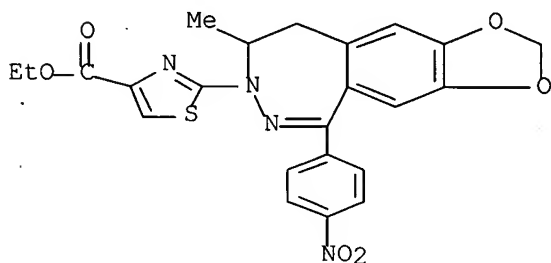
RN 732277-14-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



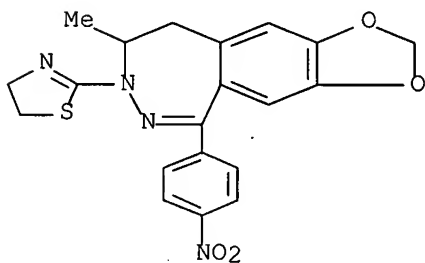
RN 732277-15-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 732277-16-6 CAPLUS

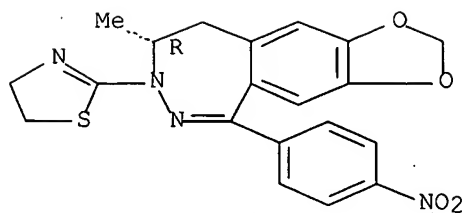
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-17-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

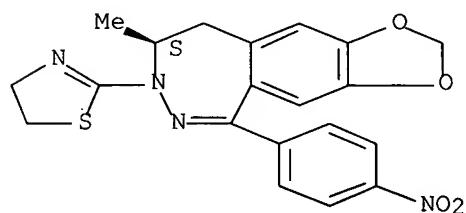
Absolute stereochemistry. Rotation (+).



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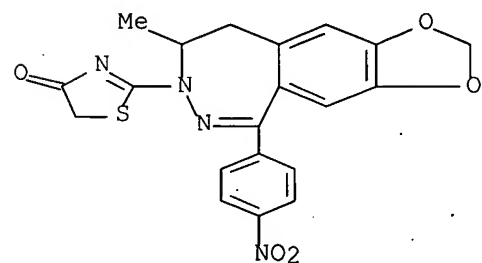
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



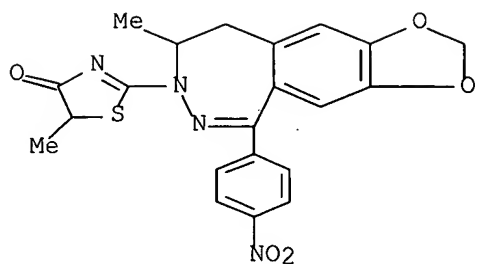
RN 732277-19-9 CAPLUS

CN 4(5H)-Thiazolone, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



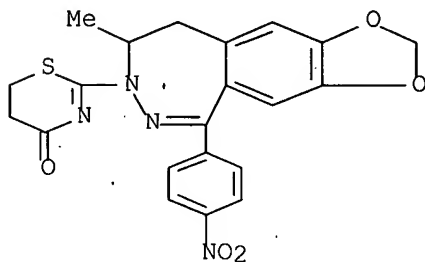
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CN 4(5H)-Thiazolone, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)



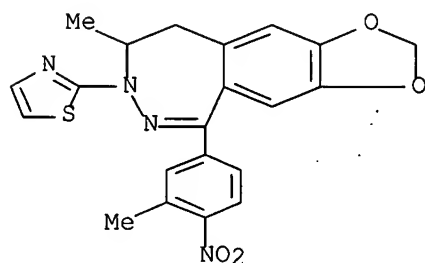
RN 732277-21-3 CAPLUS

CN 4H-1,3-Thiazin-4-one, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5,6-dihydro- (9CI) (CA INDEX NAME)



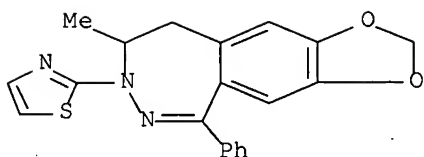
RN 732277-23-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(3-methyl-4-nitrophenyl)-7-(2-thiazolyl)- (9CI) (CA INDEX NAME)



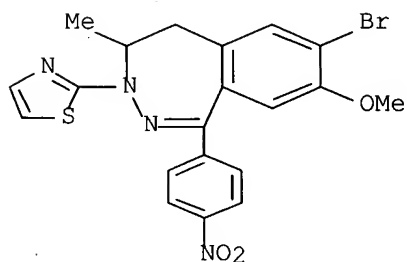
RN 732277-24-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-phenyl-7-(2-thiazolyl)- (9CI) (CA INDEX NAME)



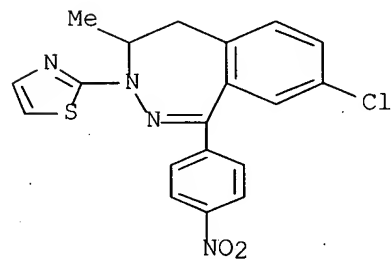
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CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (9CI) (CA INDEX NAME)



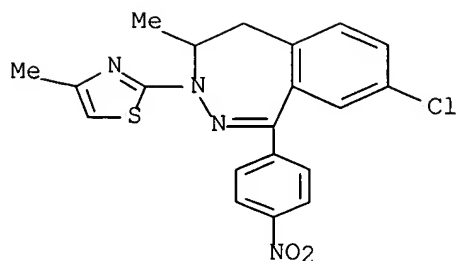
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CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (9CI) (CA INDEX NAME)



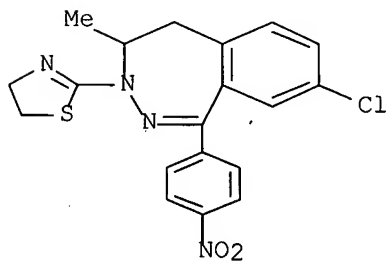
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CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



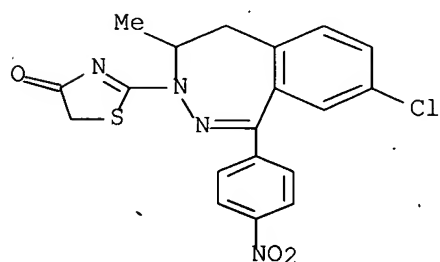
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CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



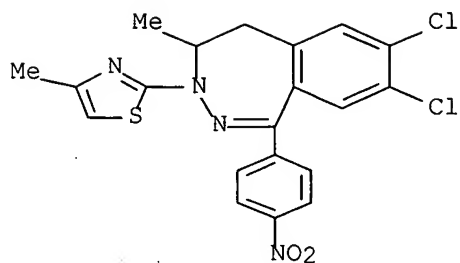
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CN 4(5H)-Thiazolone, 2-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



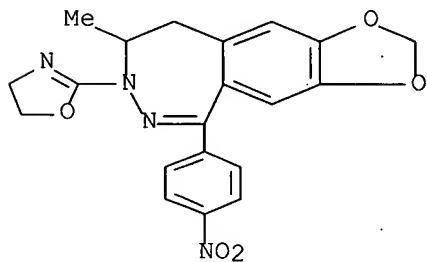
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CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



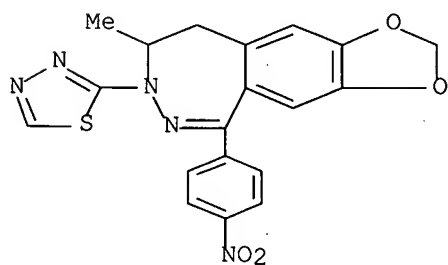
RN 732277-31-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-32-6 CAPLUS

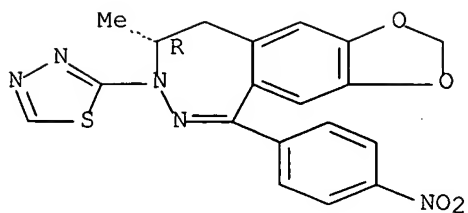
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



RN 732277-33-7 CAPLUS

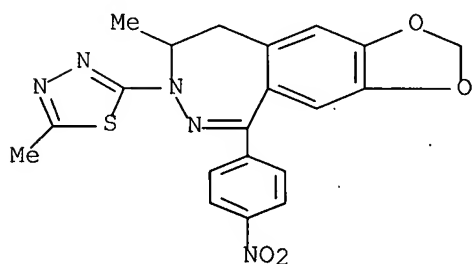
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,3,4-thiadiazol-2-yl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 732277-34-8 CAPLUS

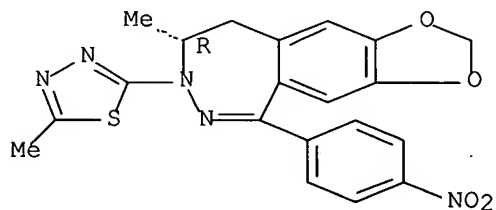
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-35-9 CAPLUS

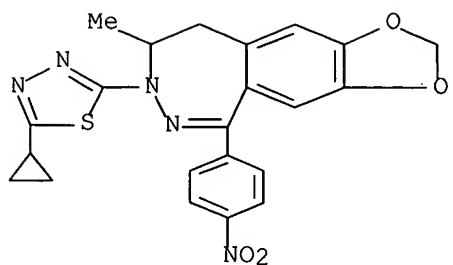
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



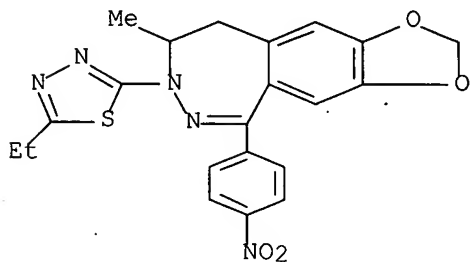
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-38-2 CAPLUS

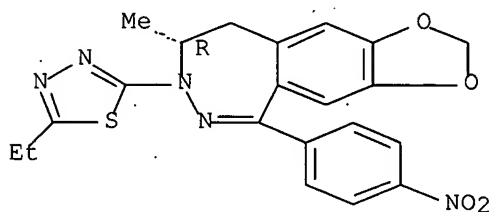
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-39-3 CAPLUS

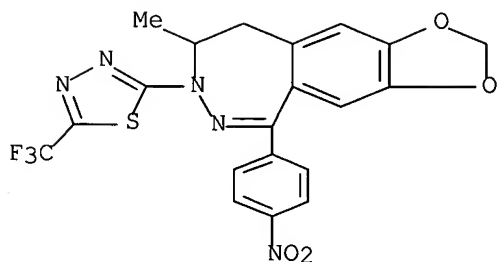
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



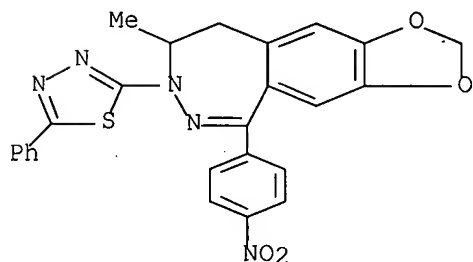
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



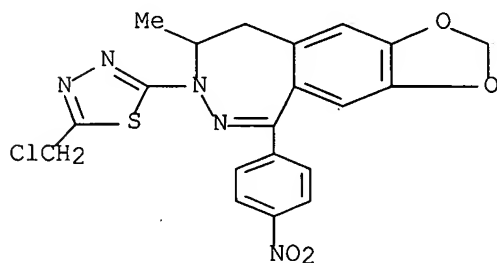
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(5-phenyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



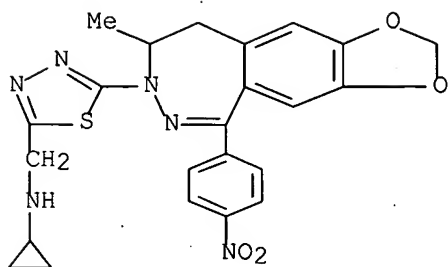
RN 732277-42-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[5-(chloromethyl)-1,3,4-thiadiazol-2-yl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



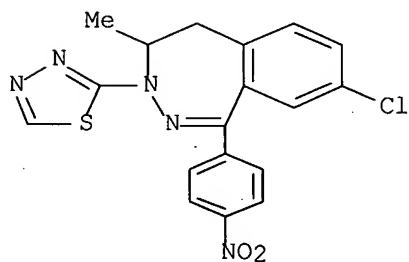
RN 732277-43-9 CAPLUS

CN 1,3,4-Thiadiazole-2-methanamine, N-cyclopropyl-5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



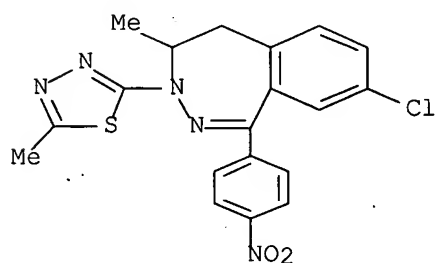
RN 732277-45-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



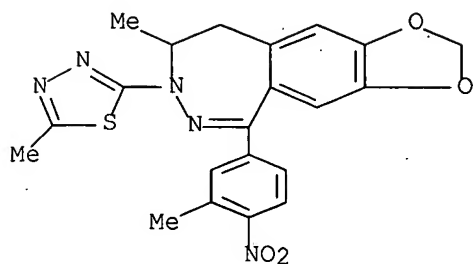
RN 732277-47-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



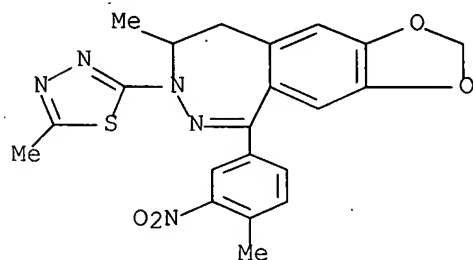
RN 732277-49-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(3-methyl-4-nitrophenyl)-7-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



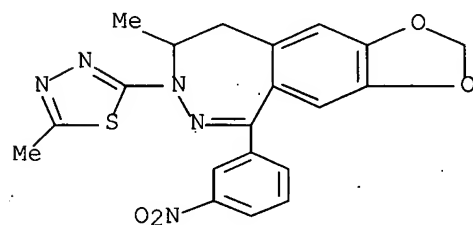
RN 732277-51-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-methyl-3-nitrophenyl)-7-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



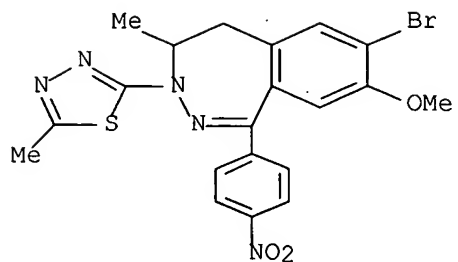
RN 732277-52-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



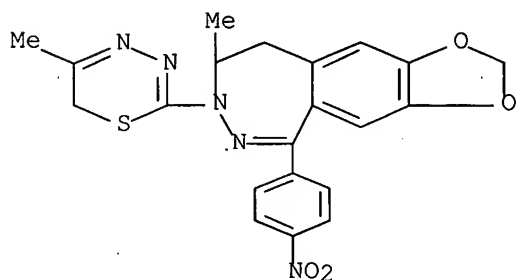
RN 732277-53-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



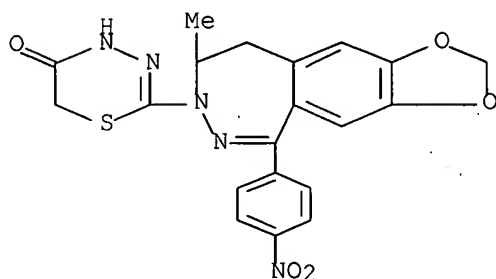
RN 732277-54-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-6H-1,3,4-thiadiazin-2-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



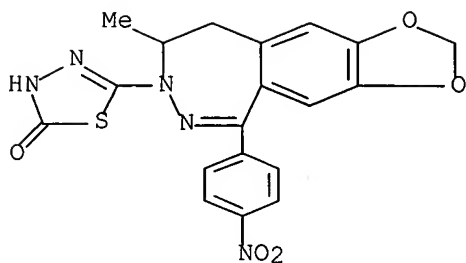
RN 732277-55-3 CAPLUS

CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



RN 732277-56-4 CAPLUS

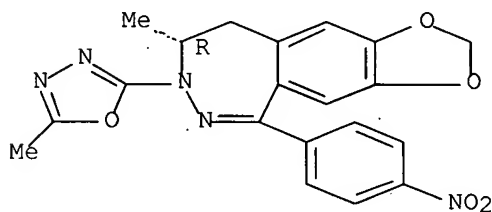
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



RN 732277-57-5 CAPLUS

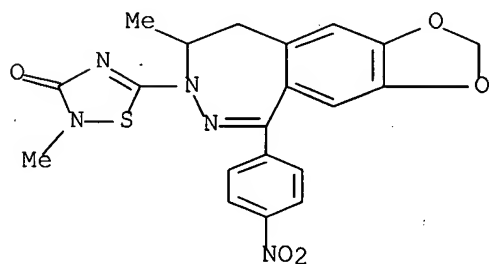
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-oxadiazol-2-yl)-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



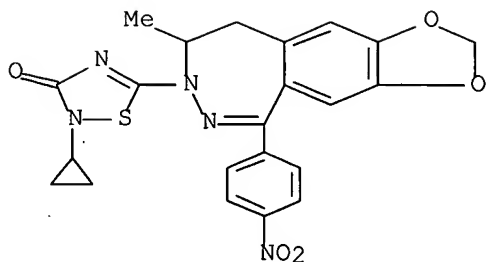
RN 732277-58-6 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



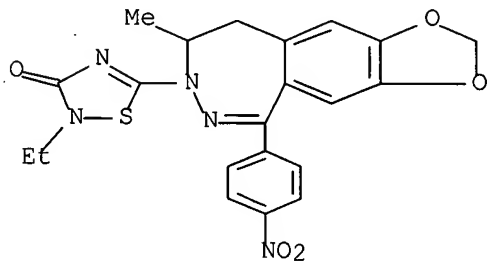
RN 732277-59-7 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 2-cyclopropyl-5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



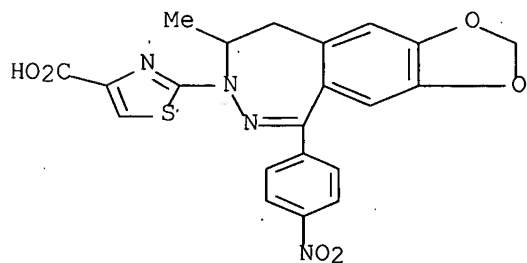
RN 732277-60-0 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-ethyl- (9CI) (CA INDEX NAME)



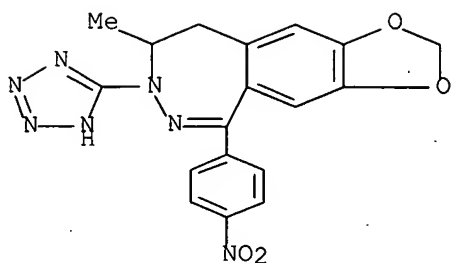
RN 732277-61-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



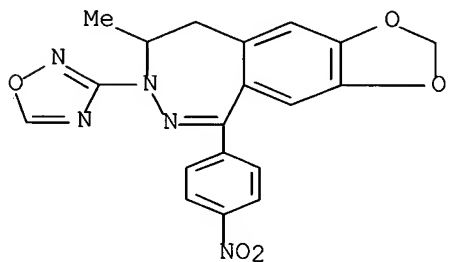
RN 732277-62-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



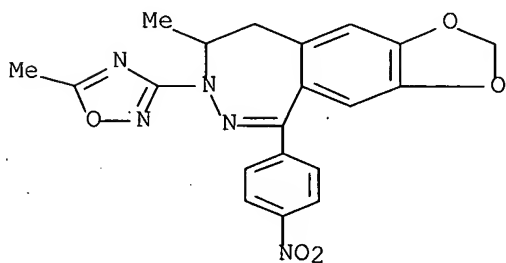
RN 732277-63-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)



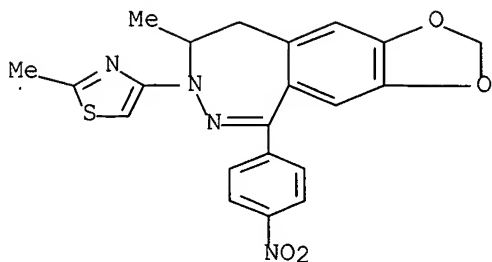
RN 732277-64-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



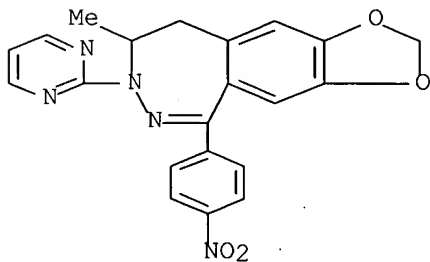
RN 732277-65-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(2-methyl-4-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



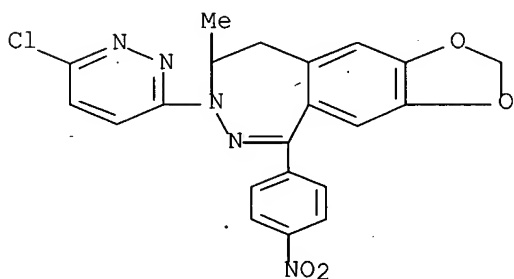
RN 732277-67-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)



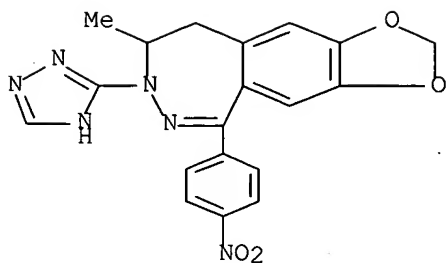
RN 732277-70-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(6-chloro-3-pyridazinyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



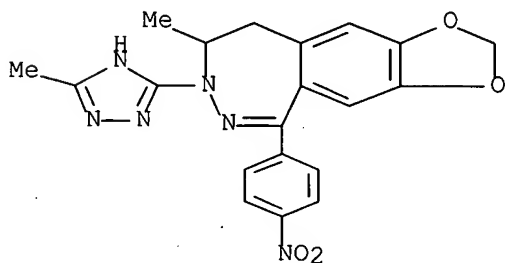
RN 732277-72-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)



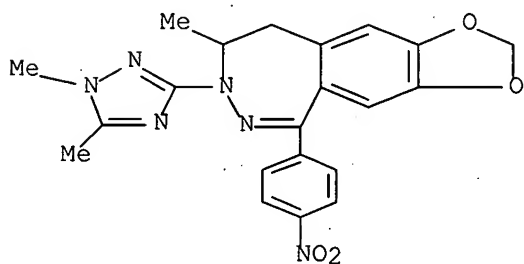
RN 732277-74-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1H-1,2,4-triazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



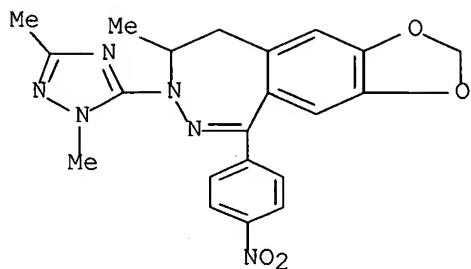
RN 732277-75-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



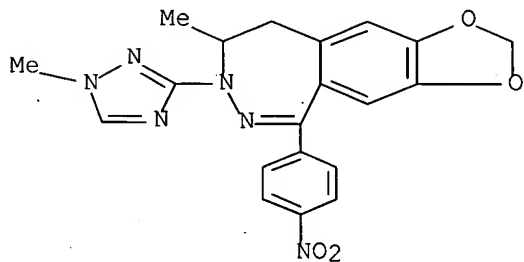
RN 732277-76-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



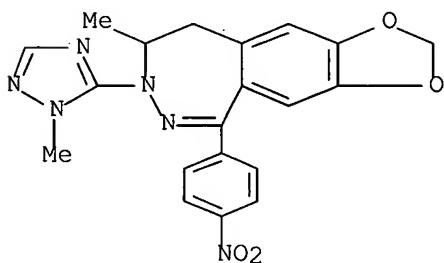
RN 732277-77-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



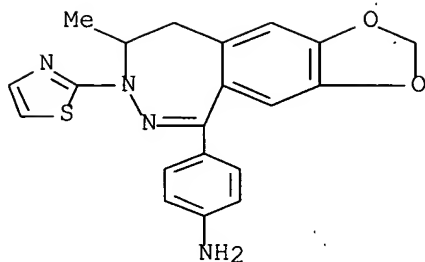
RN 732277-78-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-5-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 732277-79-1 CAPLUS

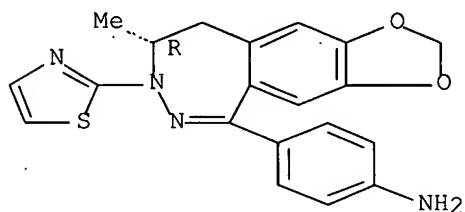
CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732277-80-4 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

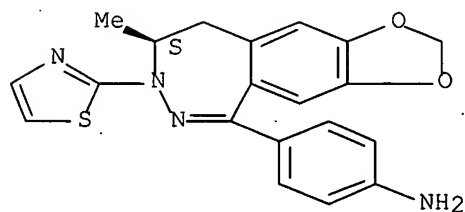
Absolute stereochemistry. Rotation (-).



RN 732277-81-5 CAPLUS

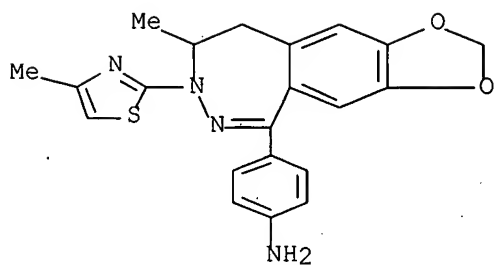
CN Benzenamine, 4-[(8S)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



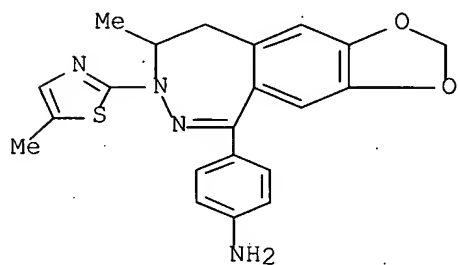
RN 732277-82-6 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



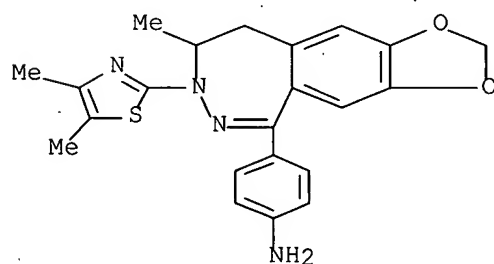
RN 732277-83-7 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



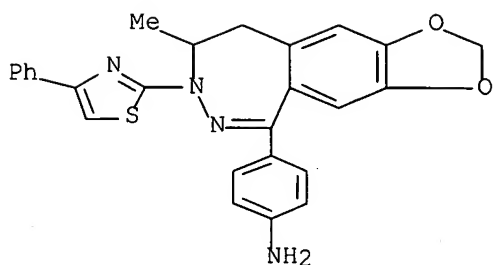
RN 732277-84-8 CAPLUS

CN Benzenamine, 4-[7-(4,5-dimethyl-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



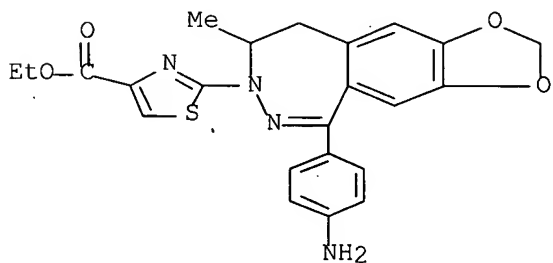
RN 732277-85-9 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(4-phenyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



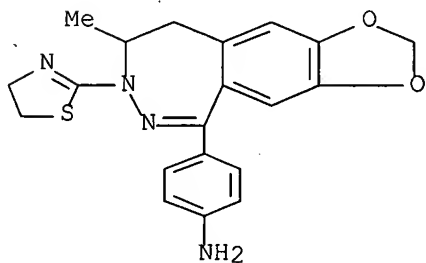
RN 732277-86-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 732277-87-1 CAPLUS

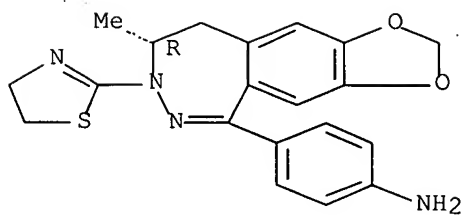
CN Benzenamine, 4-[7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732277-88-2 CAPLUS

CN Benzenamine, 4-[(8R)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

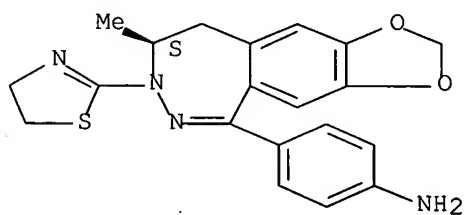
Absolute stereochemistry. Rotation (-).



RN 732277-89-3 CAPLUS

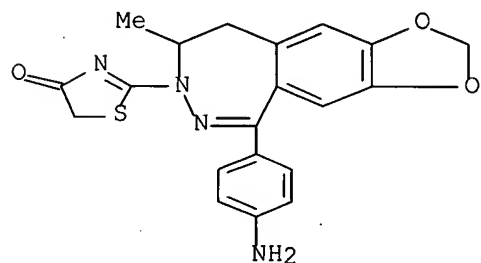
CN Benzenamine, 4-[(8S)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



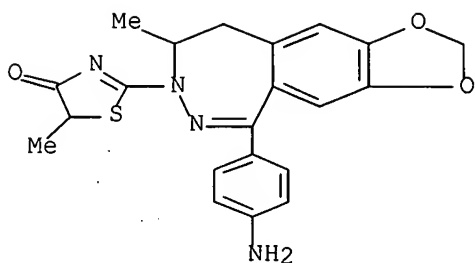
RN 732277-90-6 CAPLUS

CN 4(5H)-Thiazolone, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



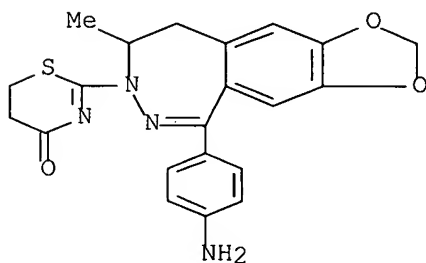
RN 732277-91-7 CAPLUS

CN 4(5H)-Thiazolone, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)



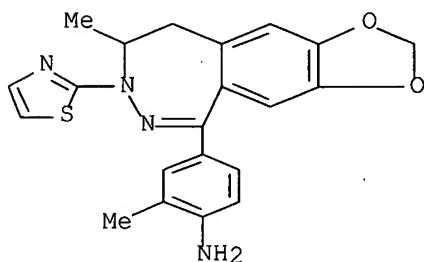
RN 732277-92-8 CAPLUS

CN 4H-1,3-Thiazin-4-one, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5,6-dihydro- (9CI) (CA INDEX NAME)



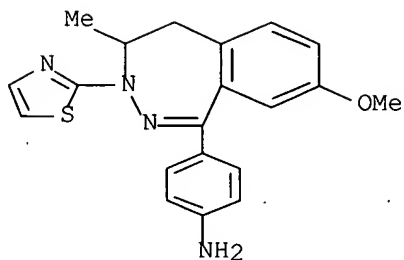
RN 732277-94-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)



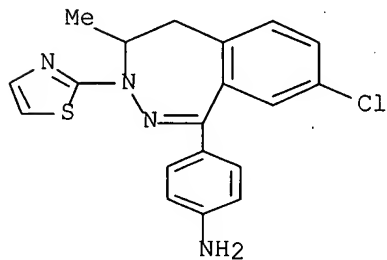
RN 732277-95-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



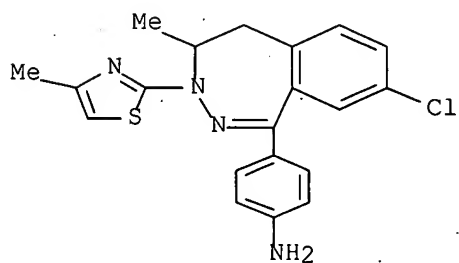
RN 732277-96-2 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



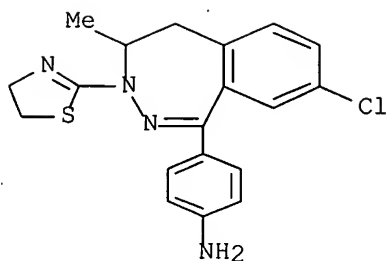
RN 732277-97-3 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



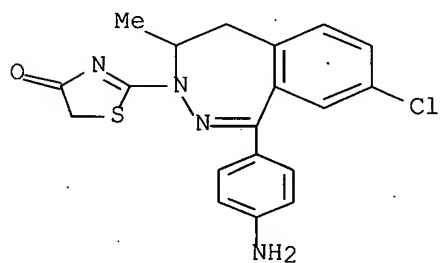
RN 732277-98-4 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



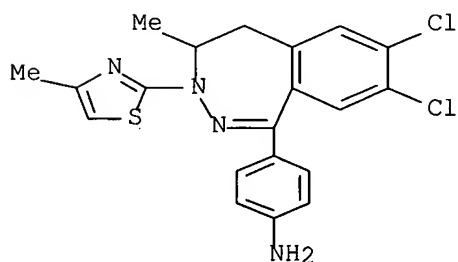
RN 732277-99-5 CAPLUS

CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)



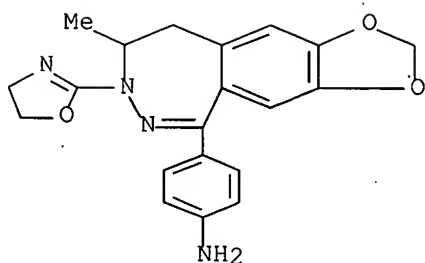
RN 732278-00-1 CAPLUS

CN Benzenamine, 4-[7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



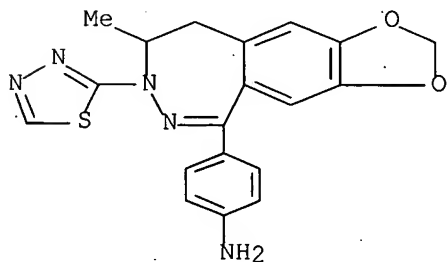
RN 732278-01-2 CAPLUS

CN Benzenamine, 4-[7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732278-02-3 CAPLUS

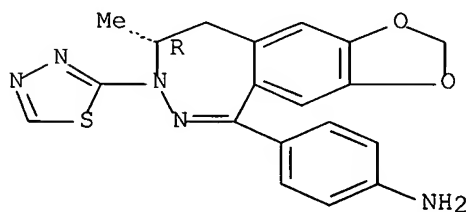
CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732278-03-4 CAPLUS

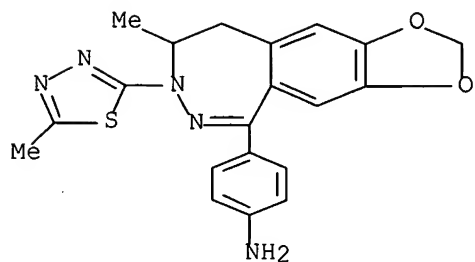
CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 732278-04-5 CAPLUS

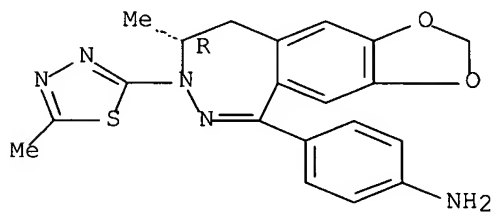
CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732278-05-6 CAPLUS

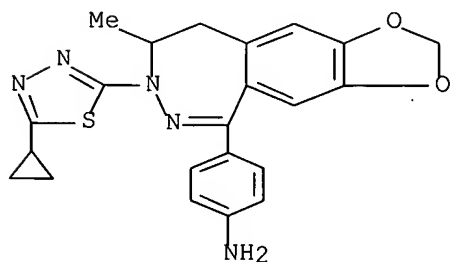
CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



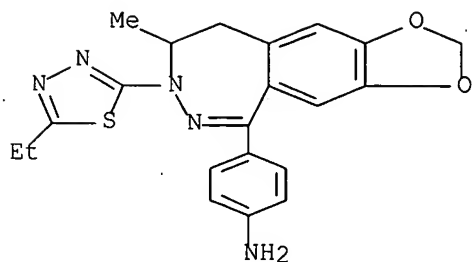
RN 732278-06-7 CAPLUS

CN Benzenamine, 4-[7-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732278-07-8 CAPLUS

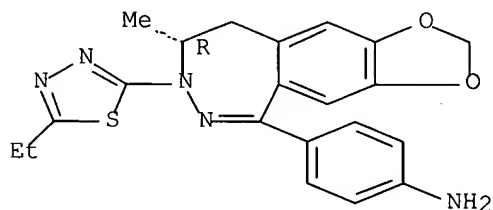
CN Benzenamine, 4-[7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 732278-08-9 CAPLUS

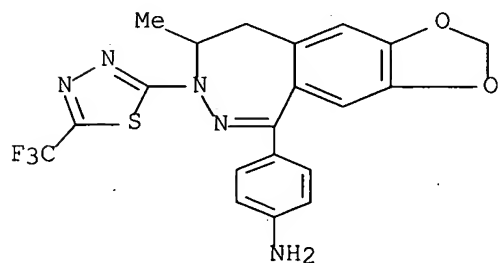
CN Benzenamine, 4-[(8R)-7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



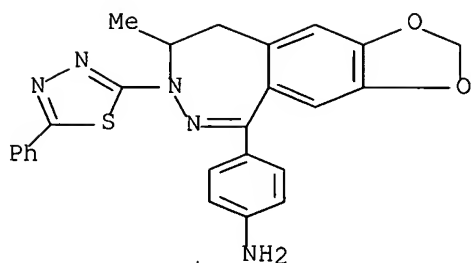
RN 732278-09-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



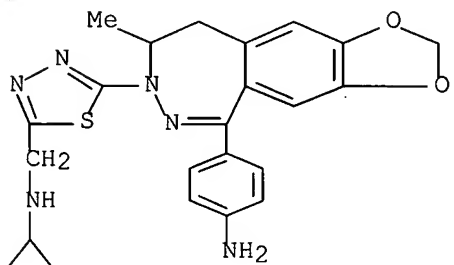
RN 732278-10-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-phenyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



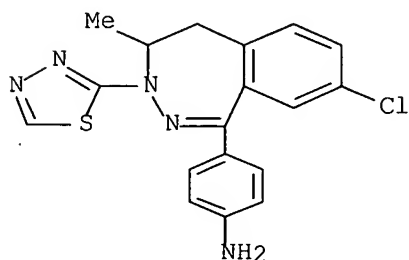
RN 732278-11-4 CAPLUS

CN 1,3,4-Thiadiazole-2-methanamine, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



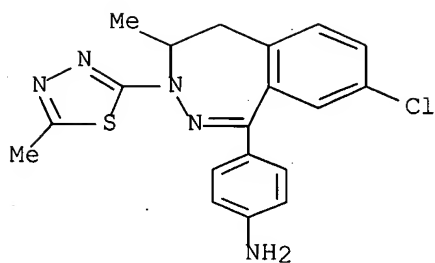
RN 732278-12-5 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



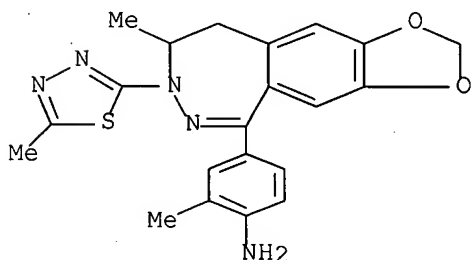
RN 732278-14-7 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



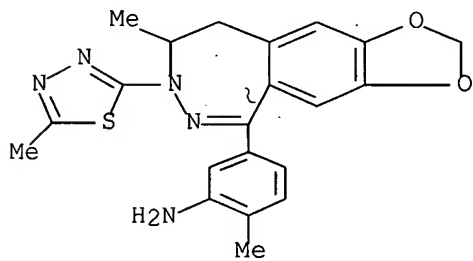
RN 732278-15-8 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)



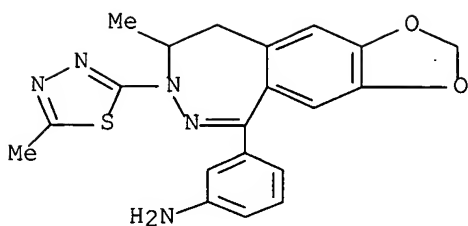
RN 732278-16-9 CAPLUS

CN Benzenamine, 5-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)



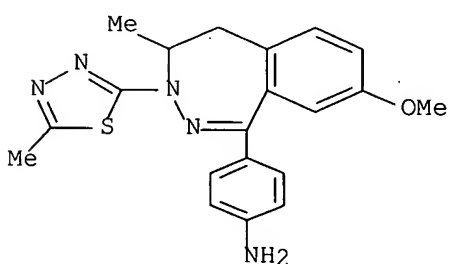
RN 732278-17-0 CAPLUS

CN Benzenamine, 3-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



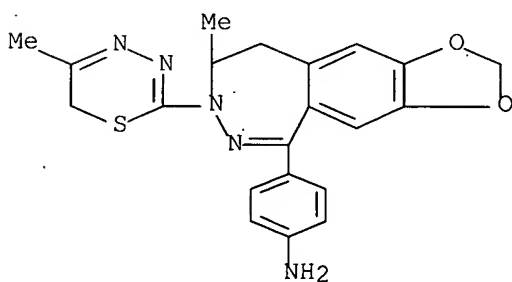
RN 732278-18-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)



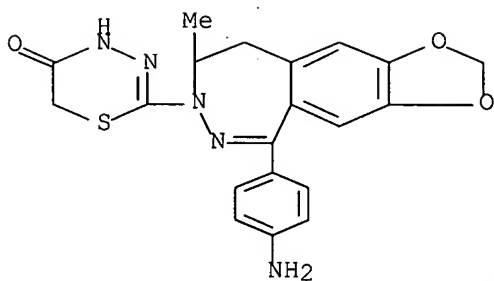
RN 732278-19-2 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-6H-1,3,4-thiadiazin-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



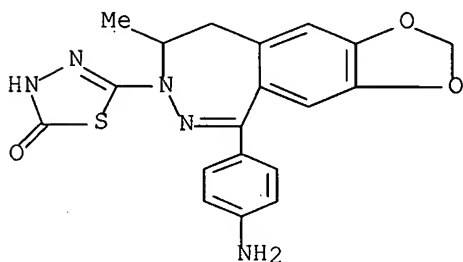
RN 732278-20-5 CAPLUS

CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



RN 732278-21-6 CAPLUS

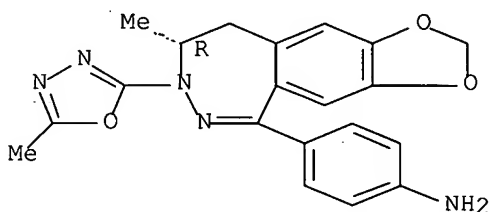
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



RN 732278-22-7 CAPLUS

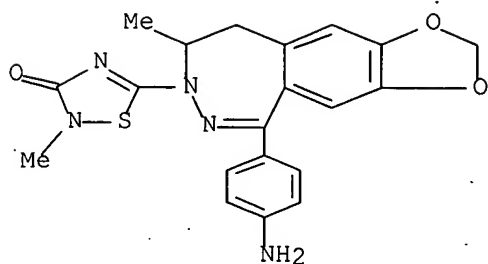
CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-oxadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



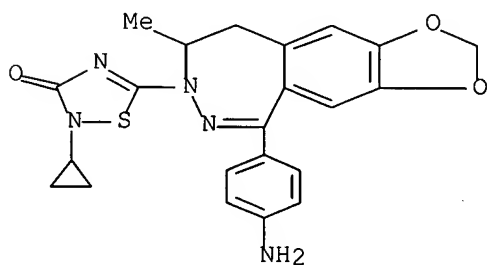
RN 732278-23-8 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)



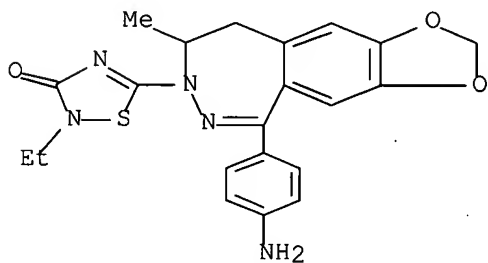
RN 732278-24-9 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-cyclopropyl- (9CI) (CA INDEX NAME)



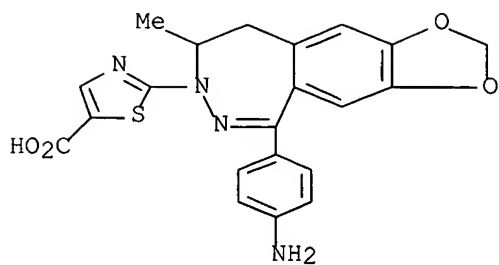
RN 732278-25-0 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-ethyl- (9CI) (CA INDEX NAME)



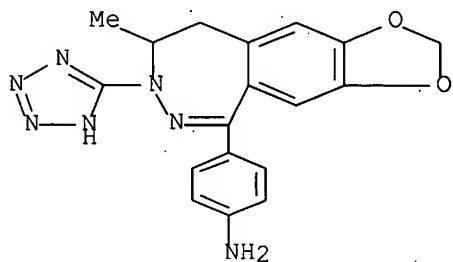
RN 732278-26-1 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)



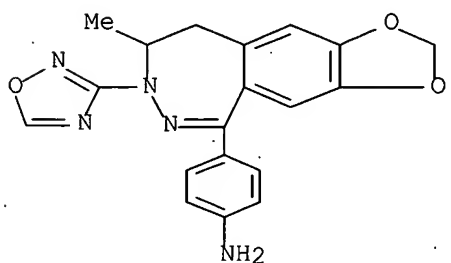
RN 732278-27-2 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1H-tetrazol-5-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



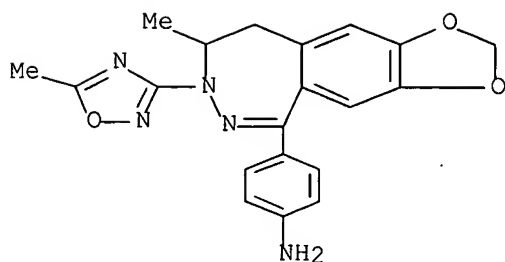
RN 732278-28-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1,2,4-oxadiazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



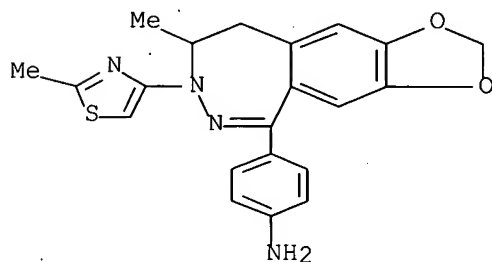
RN 732278-29-4 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,2,4-oxadiazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



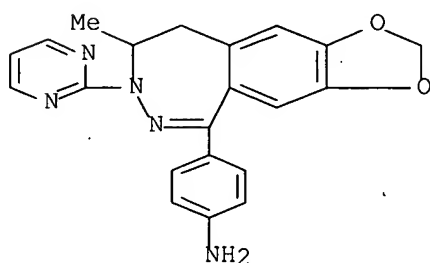
RN 732278-30-7 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-methyl-4-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



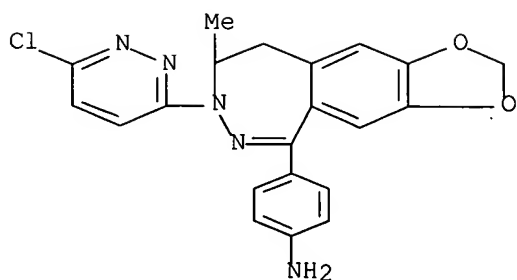
RN 732278-31-8 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-pyrimidinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



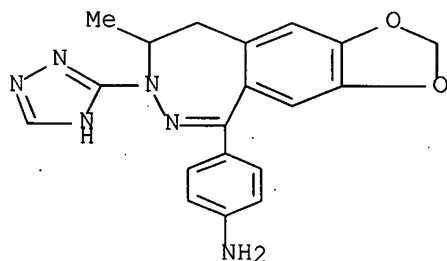
RN 732278-32-9 CAPLUS

CN Benzenamine, 4-[7-(6-chloro-3-pyridazinyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



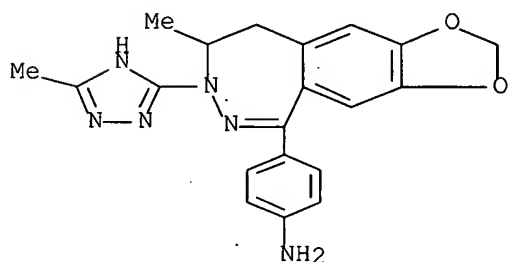
RN 732278-33-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



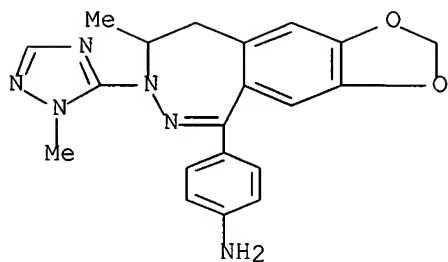
RN 732278-34-1 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



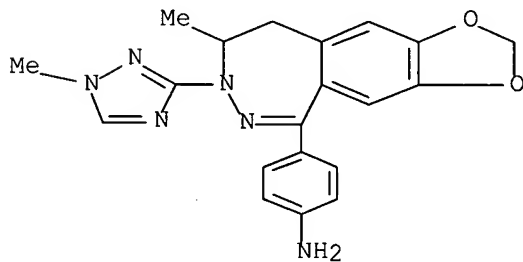
RN 732278-35-2 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-5-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



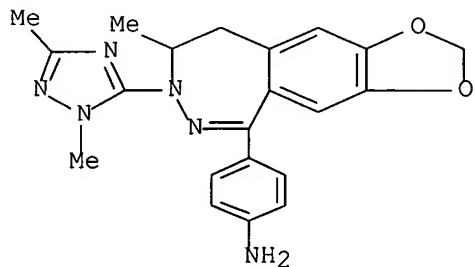
RN 732278-36-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



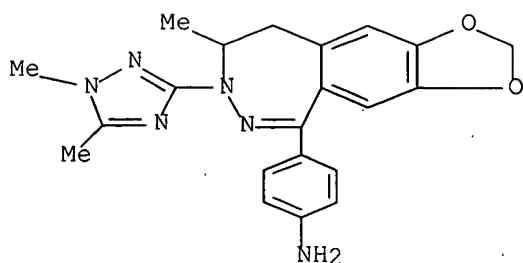
RN 732278-37-4 CAPLUS

CN Benzenamine, 4-[7-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



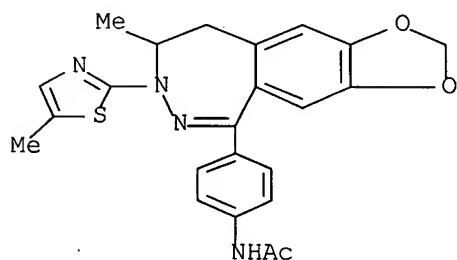
RN 732278-38-5 CAPLUS

CN Benzenamine, 4-[7-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)



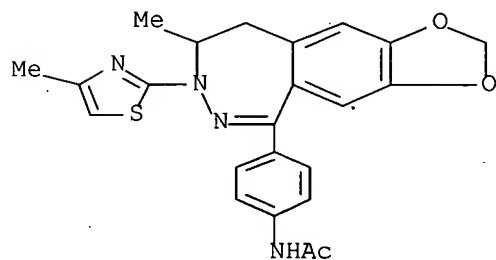
RN 732278-39-6 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



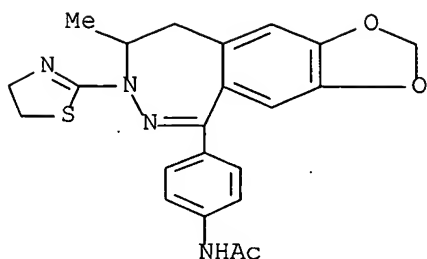
RN 732278-40-9 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 732278-41-0 CAPLUS

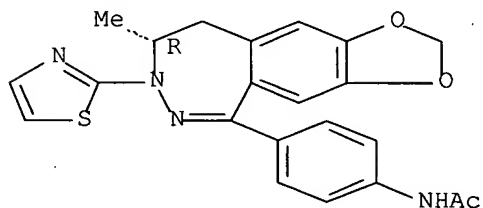
CN Acetamide, N-[4-[7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 732278-42-1 CAPLUS

CN Acetamide, N-[4-[(8R)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

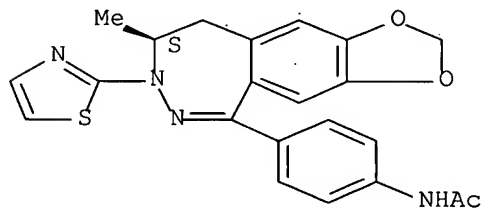
Absolute stereochemistry. Rotation (-).



RN 732278-43-2 CAPLUS

CN Acetamide, N-[4-[(8S)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

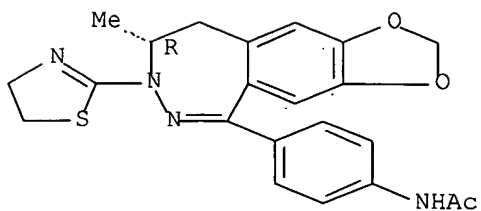
Absolute stereochemistry. Rotation (+).



RN 732278-44-3 CAPLUS

CN Acetamide, N-[4-[(8R)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

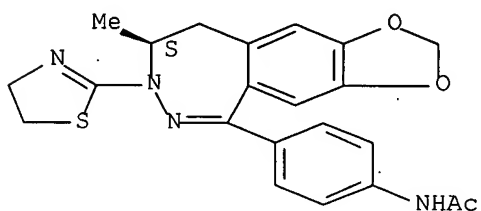
Absolute stereochemistry. Rotation (+).



RN 732278-46-5 CAPLUS

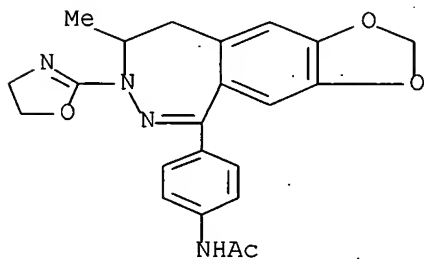
CN Acetamide, N-[4-[(8S)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



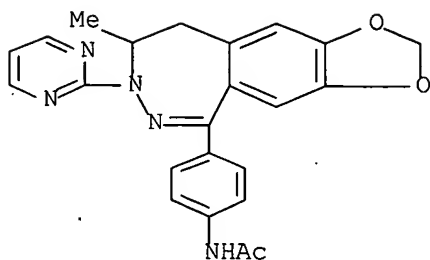
RN 732278-47-6 CAPLUS

CN Acetamide, N-[4-[7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



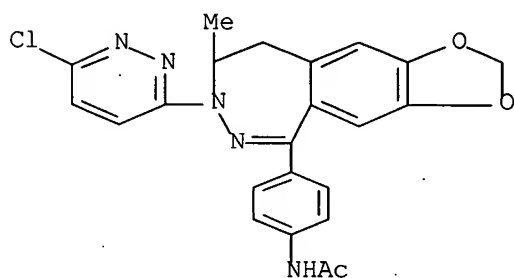
RN 732278-48-7 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(2-pyrimidinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 732278-49-8 CAPLUS

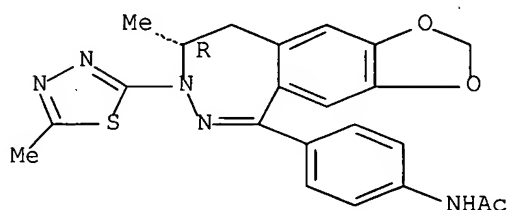
CN Acetamide, N-[4-[7-(6-chloro-3-pyridazinyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 732278-50-1 CAPLUS

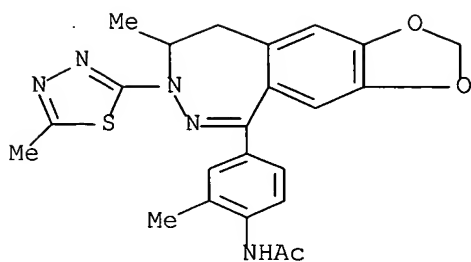
CN Acetamide, N-[4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 732278-51-2 CAPLUS

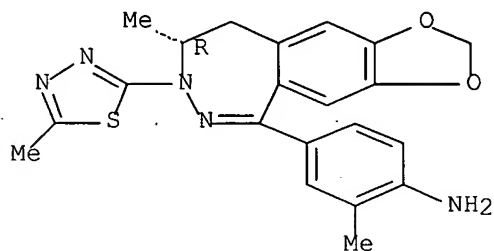
CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methylphenyl]- (9CI) (CA INDEX NAME)



RN 732278-52-3 CAPLUS

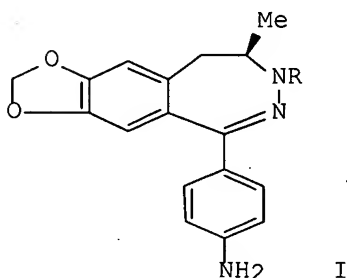
CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



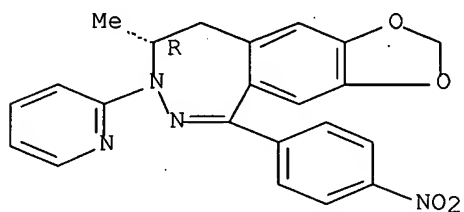
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1999:504262 CAPLUS Full-text
 DN 131:252100
 TI Synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists
 AU Anderson, Benjamin A.; Harn, Nancy K.; Hansen, Marvin M.; Harkness, Allen R.; Lodge, David; Leander, J. David
 CS Lilly Research Laboratories, A Division of Eli Lilly and Company, Chemical Process Research and Development Division, Indianapolis, IN, 46285, USA
 SO Bioorganic & Medicinal Chemistry Letters (1999), 9(14), 1953-1956
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 GI



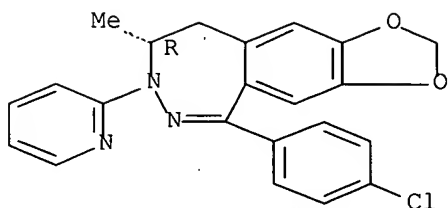
AB A novel series of 3-aryl-5H-2,3-benzodiazepines (I, R = aryl) with N-3 aromatic substituents was synthesized. Good in vivo anticonvulsant activity of the new compds. was demonstrated employing the maximal electroshock seizure test in mice. Evaluation of a subset of the compds. in the cortical wedge assay confirmed the new structures to be AMPA antagonists.
 IT 178751-13-8P 245120-82-5P 245120-83-6P 245120-84-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists)
 RN 178751-13-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 245120-82-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-chlorophenyl)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

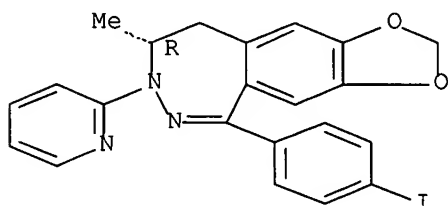
Absolute stereochemistry.



RN 245120-83-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-5-(4-iodophenyl)-8-methyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

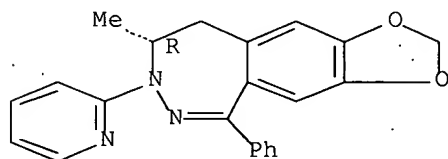
Absolute stereochemistry.



RN 245120-84-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-phenyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 178751-16-1P 178751-17-2P 178751-19-4P
178751-22-9P 245120-78-9P 245120-80-3P
245120-81-4P

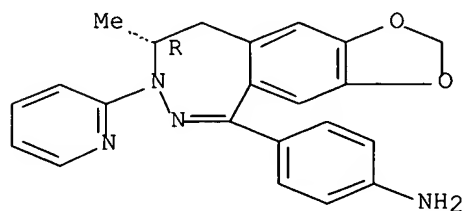
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

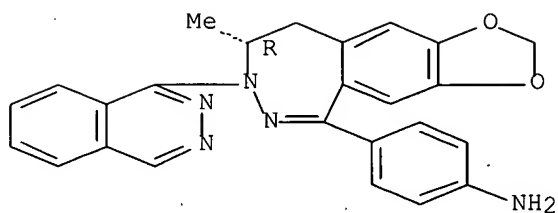
Absolute stereochemistry.



RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

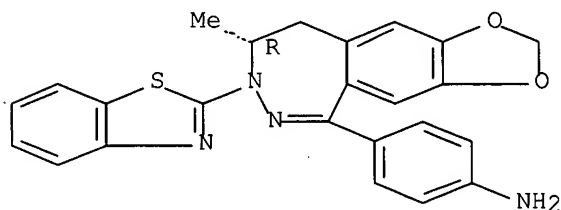
Absolute stereochemistry.



RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

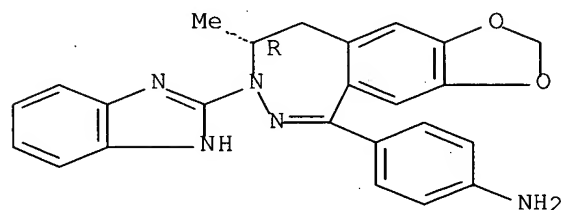
Absolute stereochemistry.



RN 178751-22-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

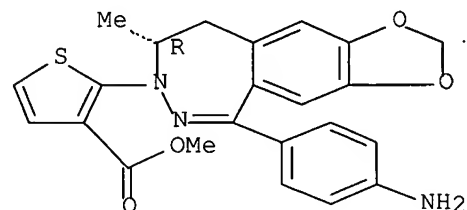
Absolute stereochemistry.



RN 245120-78-9 CAPLUS

CN 3-Thiophenecarboxylic acid, 2-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

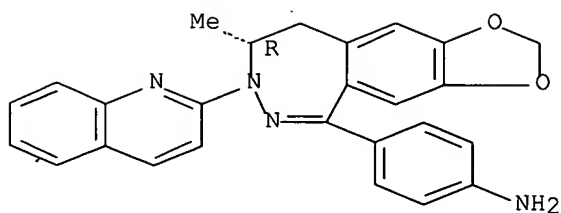
Absolute stereochemistry.



RN 245120-80-3 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-quinolinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

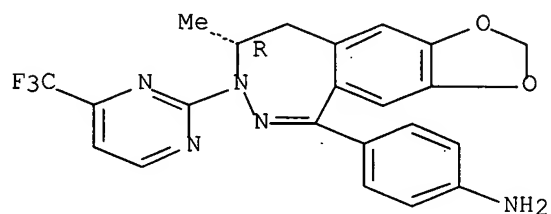
Absolute stereochemistry.



RN 245120-81-4 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-[4-(trifluoromethyl)-2-pyrimidinyl]-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

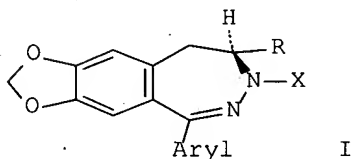
Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1998:564198 CAPLUS Full-text
 DN 129:189346
 TI Preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as
 anticonvulsants
 IN Anderson, Benjamin A.; Hansen, Marvin M.; Harn, Nancy K.
 PA Eli Lilly and Co., USA
 SO U.S., 13 pp., Cont.-in-part of U. S. Ser. No. 413,029, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5795886	A	19980818	US 1997-776892	19970211
	WO 9606606	A1	19960307	WO 1995-US10945	19950830
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1157992	A1	20011128	EP 2001-114686	19950830
	EP 1157992	B1	20050824		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	EP 1593683	A2	20051109	EP 2005-15260	19950830
	EP 1593683	A3	20061025		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PRAI	US 1994-298645	B2	19940831		
	US 1995-413029	B2	19950328		
	WO 1995-US10945	W	19950830		
	EP 1995-930998	A3	19950830		
	EP 2001-114686	A3	19950830		
OS	MARPAT 129:189346				
GI					



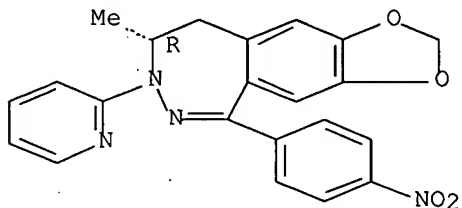
AB The title compds. [I; R = H, C1-10 alkyl; X = (un)substituted Ph, thienyl, furyl, etc.; Aryl = 4-O₂NC₆H₄, (un)protected 4-H₂NC₆H₄], an AMPA receptor antagonists useful as anticonvulsants, were prepared and formulated. Thus, reaction of (S)-1-((4-nitrophenyl)-(5-[4-(2-hydroxypropyl)-1,2-methylenedioxyphenyl]})-2-phenyldiazane with MeSO₂Cl in the presence of Et₃N in CH₂Cl₂ followed by treatment of the resulting intermediate with tBuOLi in THF afforded 51% (R)-I [R = Me; X = Ph; Aryl = 4-O₂NC₆H₄]. Compds. I are effective at 0.1-20 mg/kg.

IT 178751-13-8P 178751-14-9P 178751-18-3P
 178751-20-7P 178751-23-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as anticonvulsants)

RN 178751-13-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-

nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

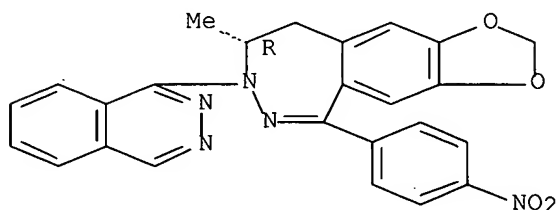
Absolute stereochemistry.



RN 178751-14-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-phthalazinyl)-, (8R)- (9CI) (CA INDEX NAME)

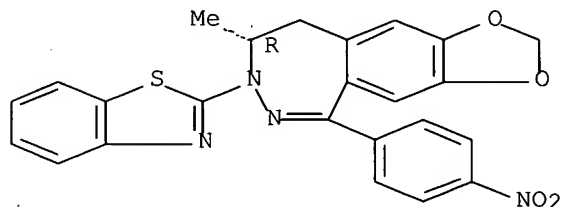
Absolute stereochemistry.



RN 178751-18-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

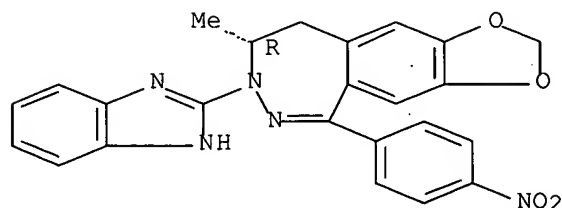
Absolute stereochemistry.



RN 178751-20-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

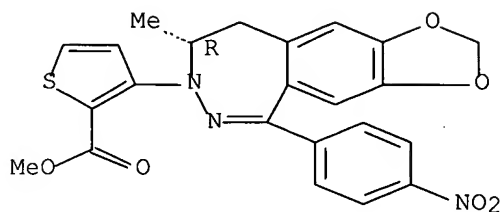
Absolute stereochemistry.



RN 178751-23-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 178751-16-1P 178751-17-2P 178751-19-4P

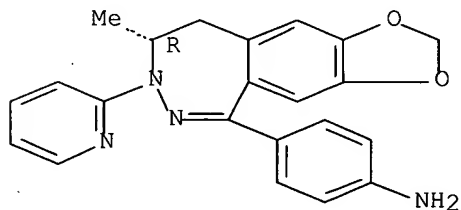
178751-22-9P 178751-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as anticonvulsants)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

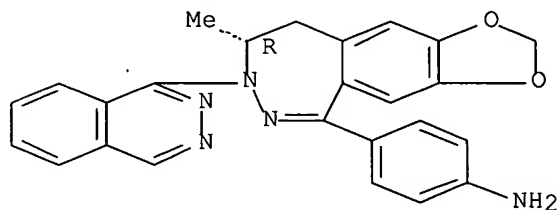
Absolute stereochemistry.



RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

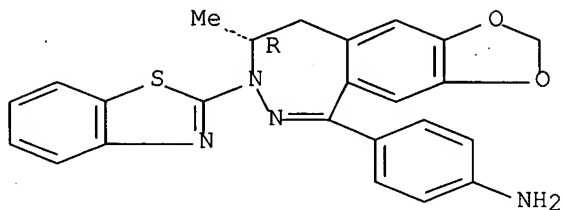
Absolute stereochemistry.



RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

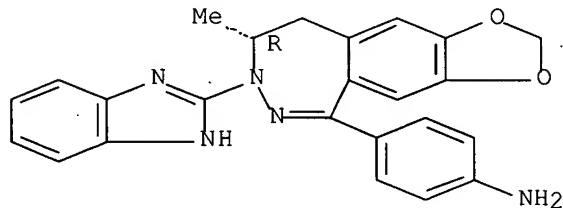
Absolute stereochemistry.



RN 178751-22-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

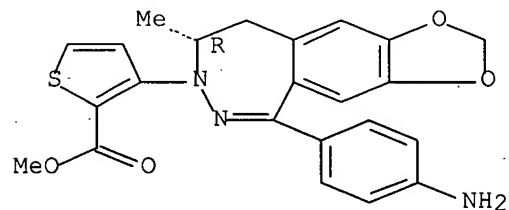
Absolute stereochemistry.



RN 178751-24-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



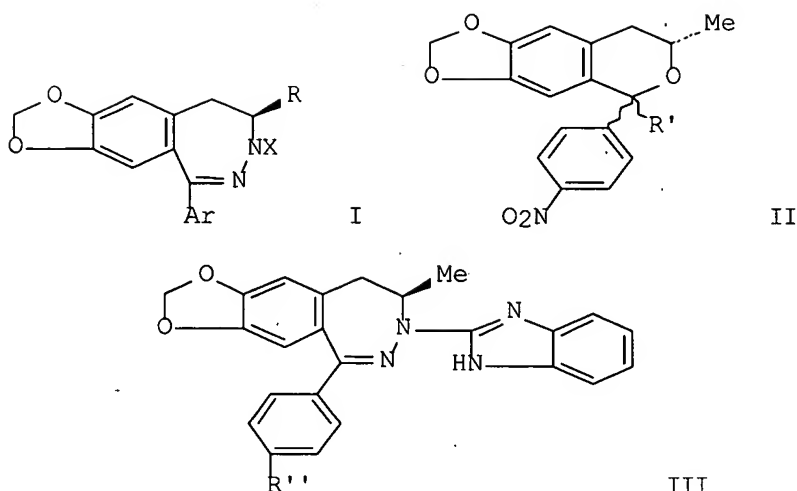
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1996:431371 CAPLUS Full-text
 DN 125:86694
 TI Dihydro-2,3-benzodiazepine derivatives useful as anticonvulsants.
 IN Anderson, Benjamin Alan; Hansen, Marvin Martin; Harn, Nancy Kay
 PA Eli Lilly and Co., USA
 SO PCT Int. Appl., 42 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9606606	A1	19960307	WO 1995-US10945	19950830
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2198751	AA	19960307	CA 1995-2198751	19950830
	CA 2198751	C	20060711		
	AU 9534186	A1	19960322	AU 1995-34186	19950830
	AU 689639	B2	19980402		
	EP 771198	A1	19970507	EP 1995-930998	19950830
	EP 771198	B1	20020206		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10505066	T2	19980519	JP 1996-508926	19950830
	EP 1157992	A1	20011128	EP 2001-114686	19950830
	EP 1157992	B1	20050824		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	AT 212994	E	20020215	AT 1995-930998	19950830
	PT 771198	T	20020628	PT 1995-930998	19950830
	ES 2170804	T3	20020816	ES 1995-930998	19950830
	AT 302779	E	20050915	AT 2001-114686	19950830
	PT 1157992	T	20051031	PT 2001-114686	19950830
	EP 1593683	A2	20051109	EP 2005-15260	19950830
	EP 1593683	A3	20061025		
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	US 5795886	A	19980818	US 1997-776892	19970211
	FI 9700833	A	19970227	FI 1997-833	19970227
	FI 113049	B1	20040227		
	HK 1013794	A1	20021220	HK 1998-115184	19981223
PRAI	US 1994-298645	A	19940831		
	US 1995-413029	A	19950328		
	EP 1995-930998	A3	19950830		
	EP 2001-114686	A3	19950830		
	WO 1995-US10945	W	19950830		
OS	MARPAT 125:86694				
GI					



AB Title compds. I [R = H or C1-10 alkyl; X = (un)substituted Ph, thienyl, furyl, pyridyl, imidazolyl, benzimidazolyl, benzothiazoyl or phthalazinyl (substituents = halo, OH, cyano, NO₂, C1-6 alkyl, C3-6 cycloalkyl, C1-4 alkoxy, CO₂H, C1-6 alkoxy carbonyl, Ac, CHO, CH₂CO₂H, CH₂OH, NH₂, CH₂NH₂, OCH₂O, CF₃); Ar = C₆H₄NO₂-p, (un)protected C₆H₄NH₂-p] and their pharmaceutically acceptable salts are disclosed. I are AMPA receptor antagonists, and are particularly useful as anticonvulsants. For instance, reduction of the carbonyl group in (3,4-methylenedioxyphenyl)acetone by *Zygosaccharomyces rouxii* gave 85-90% (S)- α -methyl-1,3- benzodioxole-5-ethanol with 100% enantiomeric excess. This was cyclized with p-O₂NC₆H₄CHO to give 86% dioxolobenzopyran derivative II [R' = H], which was oxidized with air and aqueous NaOH in DMSO-DMF mixture to give II [R' = OH]. Reaction of the latter with 2-hydrazinobenzimidazole in EtOH in the presence of HCl, followed by recyclization using the Mitsunobu reaction, gave title compound II [R'' = NO₂], which was hydrogenated to give 76.5% II [R'' = NH₂]. The latter had ED₅₀ of 3.2 mg/kg in a bioassay described by Leander [*Epilepsia*, 33(3), 573-576, 1992]. Eight formulations are listed.

IT 178751-13-8P 178751-14-9P 178751-18-3P
178751-20-7P 178751-23-0P

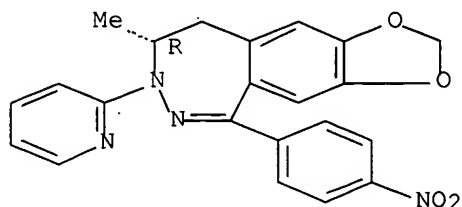
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of dihydrobenzodiazepine derivs. as AMPA receptor antagonists and anticonvulsants)

RN 178751-13-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

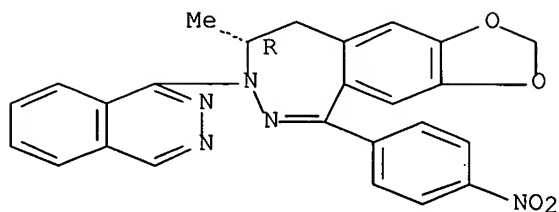
Absolute stereochemistry.



RN 178751-14-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-phthalazinyl)-, (8R)- (9CI) (CA INDEX NAME)

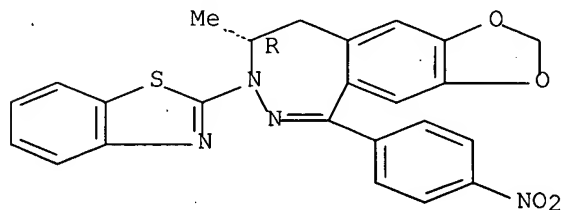
Absolute stereochemistry.



RN 178751-18-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

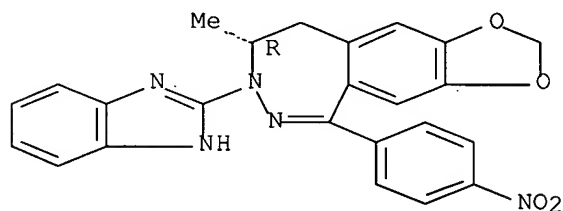
Absolute stereochemistry.



RN 178751-20-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

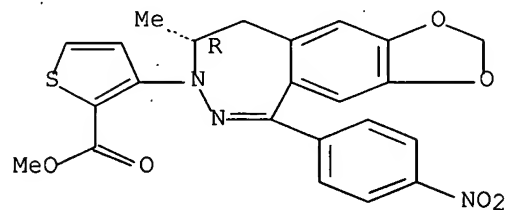
Absolute stereochemistry.



RN 178751-23-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 178751-16-1P 178751-17-2P 178751-19-4P

178751-22-9P 178751-24-1P

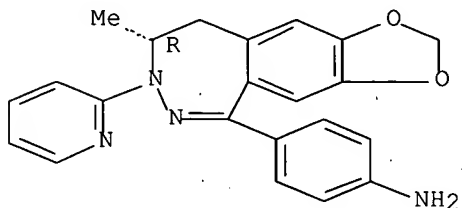
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrobenzodiazepine derivs. as AMPA receptor antagonists and anticonvulsants)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

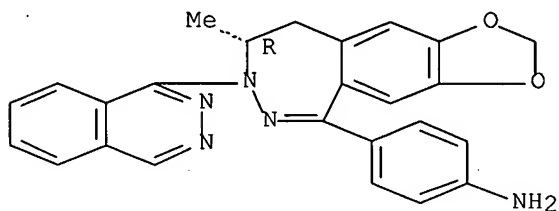
Absolute stereochemistry.



RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

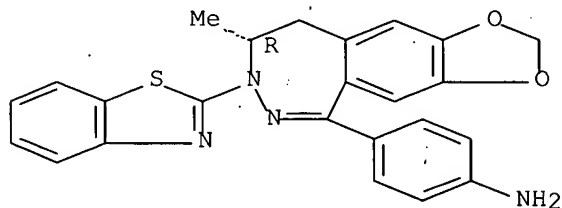
Absolute stereochemistry.



RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

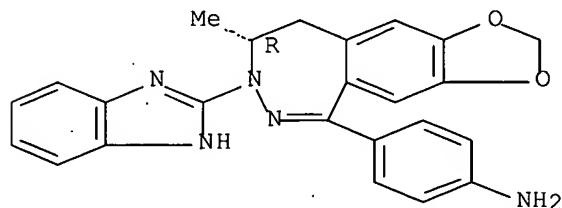
Absolute stereochemistry.



RN 178751-22-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

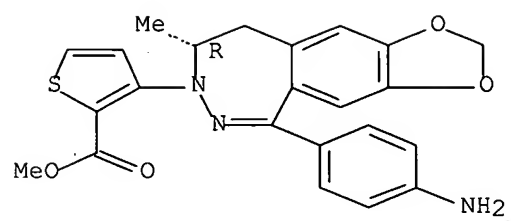
Absolute stereochemistry.



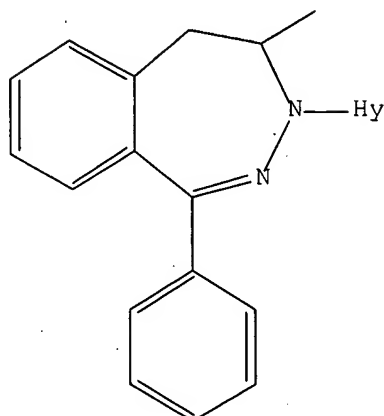
RN 178751-24-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d l2; d his; log y
L2 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L2 QUE ABB=ON PLU=ON L1

(FILE 'HOME' ENTERED AT 16:18:53 ON 21 NOV 2006)

FILE 'REGISTRY' ENTERED AT 16:19:21 ON 21 NOV 2006

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 10 S L2

L4 147 S L2 FUL

FILE 'CAPLUS' ENTERED AT 16:20:24 ON 21 NOV 2006

L5 4 S L4

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

20.90

188.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.00

-3.00

STN INTERNATIONAL LOGOFF AT 16:21:16 ON 21 NOV 2006